GCIÉNTIFIC RÉFERÈNCE BR SCI & LECH IN CON AUG : RÉCH

Access DB# 164304

SEARCH REQUEST FORM

Pat. & T,M. Office

Scientific and Technical Information Center

Requester's Full Name:	Sin J. Lee	Evaminer # . 76060	Date: 0-26-05
Requester's rull Name:Phone	Number 38 2 -1333	Serial Number:	10/808,425
Requester's Full Name: Art Unit: 1752 Phone Mail Box and Bldg/Room Location	on: 906 & Re	sults Format Preferred (circle)	: PAPER DISK E-MAIL
If more than one search is sub			eed. ********
Please provide a detailed statement of the Include the elected species or structures utility of the invention. Define any term known. Please attach a copy of the cove	keywords, synonyms, acr ns that may have a special i	onyms, and registry numbers, and one meaning. Give examples or relevant	combine with the concept or
Title of Invention: P12. Inventors (please provide full names)	see Bib.		
Inventors (please provide full names)	: <u> </u>		
Earliest Priority Filing Date:			
For Sequence Searches Only Please inc appropriate serial number.	clude all pertinent informatio	n (parent, child, divisional, or issued p	patent numbers) along with the
Please Sea	monomer	polymer mad	e from the or mononer of formula (3) of CI. #1
	******	•	******
STAFF USE ONLY	Type of Search	Vendors and cost v	
Searcher:	NA Sequence (#)		
Searcher Phone #:	AA Sequence (#)		
Searcher Location:	• • •	Questel/Orbit	
Date Searcher Picked Up:	Bibliographic	Dr.Link	
Date Completed: 9-17-05	Litigation	Lexis/Nexis	
Searcher Prep & Review Time:	Fulltext	Sequence Systems	

Other

Other (specify)_

Online Time:

=> file reg FILE 'REGISTRY' ENTERED AT 18:08:08 ON 17 SEP 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

=> d his

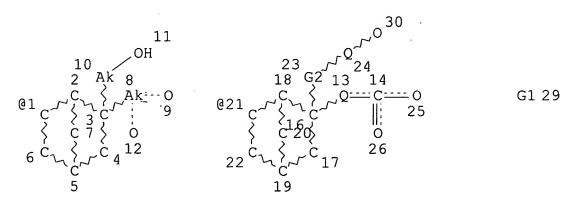
FILE 'LREGISTRY' ENTERED AT 17:31:35 ON 17 SEP 2005 L1STR STR L1 L2FILE 'REGISTRY' ENTERED AT 17:55:02 ON 17 SEP 2005 L3 0 S L2 L4STR L2 L5 0 S L4 L6 SCR 1026 L7 0 S L4 AND L6 **L8** 7 S L4 AND L6 FUL SAV L8 LEE425/A

FILE 'CAOLD' ENTERED AT 18:07:37 ON 17 SEP 2005 L9' 0 S L8

FILE 'ZCAPLUS' ENTERED AT 18:07:52 ON 17 SEP 2005 L10 5 S L8

FILE 'REGISTRY' ENTERED AT 18:08:08 ON 17 SEP 2005

=> d 18 que stat L4 STR



VAR G1=1/21

REP G2=(0-1) AK NODE ATTRIBUTES: CONNECT IS E3 RC AT CONNECT IS E2 RC AT 10 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE L6· SCR 1026

T8 7 SEA FILE=REGISTRY SSS FUL L4 AND L6

100.0% PROCESSED 856812 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.16

=> file zcaplus FILE 'ZCAPLUS' ENTERED AT 18:10:14 ON 17 SEP 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d 110 1-5 all hitstr

L10 ANSWER 1 OF 5 ZCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:359996 ZCAPLUS

DN 134:366887

ED Entered STN: 18 May 2001

ΤI Preparation of 8-substituted xanthines as adenosine receptor antagonists

IN Dowling, James E.; Ensinger, Carol; Kumaravel, Gnanasambandam; Petter, Russell C.

Biogen, Inc., USA PΑ

SO PCT Int. Appl., 61 pp. CODEN: PIXXD2

DTPatent

LA English

IC ICM C07D473-00

28-16 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

PI	WO	2001034604	A2	20010517	WO 2000-US31100	200011 13
	WO	W: AE, AG, AL, CN, CR, CU, GM, HR, HU, LR, LS, LT, PL, PT, RO,	CZ, DE ID, IL LU, LV RU, SD	, AU, AZ, , DK, DM, , IN, IS, , MA, MD, , SE, SG,	BA, BB, BG, BR, BY, BZ, DZ, EE, ES, FI, GB, GD, JP, KE, KG, KP, KR, KZ, MG, MK, MN, MW, MX, MZ, SI, SK, SL, TJ, TM, TR, ZW, AM, AZ, BY, KG, KZ,	CA, CH, GE, GH, LC, LK, NO, NZ, TT, TZ,
·		RW: GH, GM, KE, CY, DE, DK,	ES, FI	, FR, GB,	SL, SZ, TZ, UG, ZW, AT, GR, IE, IT, LU, MC, NL, GA, GN, GW, ML, MR, NE,	PT, SE,
	CA	2390590	AA	20010517	CA 2000-2390590	200011 13
	BR	2000015540	А	20020723	BR 2000-15540	200011 13
	EP	1230241	A2	20020814	EP 2000-983698	200011 13
		SI, LT, LV,	FI, RO	, MK, CY,		
	JP	2003513976	Т2	20030415	JP 2001-536551	200011 13
	EE	200200248	А	20030616	EE 2002-248	200011
	US	6605600	в1 (20030812) US 2000-711554	200011
	NZ	519427	A	20030829	NZ 2000-519427	13 200011
	TR	200301062	Т2	20030922	TR 2003-200301062	13 200011
	ZA	2002003702	Α .	20030811	ZA 2002-3702	13
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Ţ	JS 200322503	38	A1	20031	204	US	2003-461534	
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	NZ 527918		Α	20050)527	ΝZ	2003-527918	200309
	JS 1999-1652							01
	JS 2000-7115			20001				
WO 2000-US31100 CLASS		100	W	20001	1113			
	NT NO.	CLASS	PATENT I	FAMILY	CLASS:	IFIC	CATION CODES	
WO 20	001034604 001034604 505600	ECLA (NCL !	514/263. 514/263.	/06 .000; .230; .360;	514/263 544/263	3.34 7.00	00; 514/263.220; 40; 514/263.350; 00; 544/268.000; 00; 544/272.000;	
US 20	003225038	ECLA C	544/273. CO7D473, 514/081. CO7D473,	.000 /06 .000	٠			

4

C07D473/06

AB The title compds. [I; R1, R2 = H, alkyl, alkenyl, etc.; R3 = (un)substituted bicyclic or tricyclic group; X1, X2 = 0, S; Z = a single bond, O, (CH2)1-3, etc.; R6 = H, alkyl, acyl, etc.] which are unexpectedly highly potent and selective inhibitors of the adenosine

٠, ف

NZ 527918

OS

GI

ECLA

MARPAT 134:366887

10/808,425 LEE Al receptor, and therefore are useful in the prevention and/or treatment of numerous diseases, including cardiac and circulatory disorders, degenerative disorders of the central nervous system, respiratory disorders, and many diseases for which diuretic treatment is suitable, were prepd. E.g., a 2-step synthesis of II was given. All of the compds. I tested exhibited rat Al Ki values between 0.47 and 1225 nM, human A1 Ki values between 12 and 1000 nM, and human A2a Ki values between 18 and 100,000 nM. xanthine prepn adenosine receptor antagonist Purinoceptor antagonists (A1; prepn. of 8-substituted xanthines as adenosine receptor antagonists) Adenosine receptors (A2a; prepn. of 8-substituted xanthines as adenosine receptor antagonists) 340162-94-9P 340162-95-0P 340162-96-1P 340162-97-2P 340162-98-3P 340163-03-3P 340163-10-2P 340163-12-4P 340163-16-8P 340163-19-1P 340163-20-4P 340163-13-5P 340163-23-7P 340163-24-8P 340163-28-2P 340163-98-6P 340255-16-5P 340255-22-3P 340164-04-7P (prepn. of 8-substituted xanthines as adenosine receptor antagonists) 340162-99-4P 340163-00-0P 340163-01-1P 340163-02-2P 340163-04-4P **340163-05-5P** 340163-06-6P 340163-07-7P 340163-11-3P 340163-08-8P 340163-09-9P 340163-14-6P 340163-15-7P 340163-17-9P 340163-18-0P 340163-21-5P 340163-25-9P 340163-27-1P 340163-29-3P 340163-22-6P 340163-30-6P 340163-32-8P 340163-34-0P 340163-36-2P 340163-38-4P 340163-40-8P 340163-42-0P 340163-44-2P 340163-50-0P 340163-49-7P 340163-46-4P 340163-48-6P 340163-51**-**1P 340163-53-3P 340163-55-5P 340163-56-6P

ST

IT

IT

ΙT

IT

340163-57-7P 340163-58-8P 340163-59-9P 340163-60-2P 340163-64-6P 340163-66-8P 340163-62-4P 340163-68-0P 340163-69-1P 340163-70-4P 340163-72-6P 340163-74-8P 340163-76-0P 340163-78-2P 340163-80-6P 340163-82-8P 340163-89-5P 340163-91**-**9P 340163-85-1P 340163-87-3P 340163-93-1P 340163-95-3P 340163-96-4P 340163-97-5P 340164-00-3P 340163-99-7P 340164-01-4P 340164-02-5P 340164-03-6P 340164-05-8P 340164-06-9P 340255-15-4P 340255-17-6P 340255-19-8P 340255-20-1P 340255-24-5P 340255-29-0P 340255-32-5P 340266-61-7P

(prepn. of 8-substituted xanthines as adenosine receptor antagonists)

IT 108-00-9 110-87-2 123-75-1, Pyrrolidine, reactions 2033-24-1, 5437-45-6, Benzyl bromoacetate Meldrum's acid 5805-57-2, 1H-Benzimidazole-2-methanamine 7148-07-4, 1-(Cyclopent-1-13734-41-3, tert-Butoxycarbonyl-L-valine enyl)pyrrolidine 18355-96-9, (3-Dimethylaminopropyl)triphenylphosphonium bromide

19530-66-6 40458-77-3, 8-Oxabicyclo[3.2.1]oct-6-en-3-31542-62-8 57260-73-8 58539-11-0 one 52730-40-2 101915-50-8, 2-0xo-bicyclo[2.2.1]heptane-7-carboxylic acid 133058-80-7 274690-13-0, 4-Acetoxybicyclo[3.2.1]octane-6carboxylic acid 340023-21-4 324002-49-5 340164-32-1, 3-0xo-bicyclo[3.2.1]octane-8-carboxylic acid 340164-33-2 340164-34-3 340255-31-4 (prepn. of 8-substituted xanthines as adenosine receptor antagonists)

340022-92-6P 340022-93-7P 340022-94-8P 340023-20-3P IT 340023-25-8P 340164-07-0P 340164-08-1P 340164-09-2P 340164-10-5P 340164-11-6P 340164-12-7P 340164-13-8P 3/40164-16-1P 340164-14-9P 340164-15-0P 340164-17-2P 340164-19-4P 340164-20-7P 340164-21-8P 340164-18-3P 340164-23-0P 340164-24-1P 340164-25-2P 340164-22-9P 340164-27-4P 340164-28-5P 340164-29-6P 340164-26-3P 340164-30-9P 340164-31-0/P 340255-30-3P

> (prepn. of 8-substituted xanthines as adenosine receptor antagonists)

IT 340163-05-5P

> (prepn. of 8-subst/ituted xanthines as adenosine receptor antagonists)

RN 340163-05-5 ZCAPL**V**S

Tricyclo[2.2.1.02/6]heptane-3-carboxylic acid, 3-(hydroxymethyl)-5-CN (2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)-(9CI)(CA INDEX NAME)

L10 ANSWER 2 OF 5 ZCAPLUS COPYRIGHT 2005 ACS on STN

AN 1993:649599 ZCAPLUS

119:249599 DN

ED 11 Dec 1993 Entered STN:

TΙ Gem-Cycloalkyl substituted thiol inhibitors of neutral endopeptidase 24.11. Synthesis via nucleophilic opening of 2,2-spiro-.beta.lactones

AU. James, Keith; Palmer, Michael J.

CS Dep. Discovery Chem., Pfizer Cent. Res., Sandwich/Kent, CT13 9NJ, UK

```
SO Bioorganic & Medicinal Chemistry Letters (1993), 3(5), 825-30 CODEN: BMCLE8; ISSN: 0960-894X
```

DT Journal

LA English

CC 24-5 (Alicyclic Compounds)
Section cross-reference(s): 1, 7

OS CASREACT 119:249599

GΙ

AB Concise syntheses are described of a series of gem-cycloalkyl substituted thiols which are inhibitors of neutral endopeptidase 24.11. The route employs mild closure of strained 2,2-spiro-.beta.-lactones from .beta.-hydroxy-acids using triflic anhydride, followed by O-alkyl cleavage with potassium thioacetate. Thus, hydroxymethylcyclopentanecarboxylic acid I (R = OH) was treated with O(SO2CF3) and Et3N in Et2O to give 71% the spiro lactone II which was treated with AcSK in EtOH to give 30% I (R = AcS). Sequential amidation of I (R = AcS) with benzyl (.+-.)-cis-3-aminocyclohexanecarboxylate in the presence of 1-ethyl-3-(dimethylaminopropyl)carbodiimide, N-methylmorpholine, and 1-hydroxybenzotrizole followed by hydrolysis with aq. NaOH gave 61% the (carboxycyclohexyl) (mercaptomethyl)cyclopentanecarboxamide III.

ST mercaptoalkylamidocarboxylic acid prepn endopeptidase inhibitor; hydroxy acid conversion thiol endopeptidase inhibitor; carboxycyclohexylmercaptomethylcyclopentanecarboxamide prepn endopeptidase inhibitor

IT 118755-56-9

(acylation of, with (acetylthiomethyl)cycloalkanecarboxylic acids)

IT 91702-98-6.

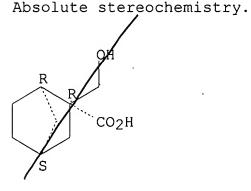
(amidation of, with aminocyclohexanecarboxylic acid ester)

IT 9001-92-7, Endopeptidase

(inhibitors, mercaptoalkylamidocarboxylic acids)

IT 55987-28-5 102539-92-4 150986-11-1 150986-14-4

151062-36-1 151062-38-3 (lactonization of) 2313-04-4P, 2-Oxaspiro[3.5]nonan-1-one IT 5733-02-8P, 2-Oxaspiro[3.4]octan-1-one 151062-39-4P (prepn. and addn. reaction-ring cleavage of, with thioacetate) IT 151062-37-2P (prepn. and addnl. reaction-ring cleavage of, with thioacetate) 137613-93-5P IT (prepn. and amidation of, with amino acids) 149705-49-7P IT (prepn. and amidation of, with aminocyclohexanecarboxylic acid ester) IT 150986-12-2P 150986-15-5P (prepn. and attempted ring cleavage of, with thioacetate) 150986-10-0P 150986-16-6P IT 123985-46-6P 150986-17-7P (prepn. and endopeptidase-inhibiting activity of) 150986-09-7P IT (prepn. and hydrolysis of) 150986-13-3P 151062-40-7P $\cdot IT$ (prepn. of) IT 151062-36-1 151062-38-3 (lactonization of) 151062-36-1 ZCAPLUS RNBicyclo[2.2.1]heptane-2-carboxylic acid, 2-(hydroxymethyl)-, CN (1R-exo) - (9CI) (CA INDEX NAME)



```
RN 151062-38-3 ZCAPLUS
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 2-(hydroxymethyl)-,
(1R-endo)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

L10 ANSWER 3 OF 5 ZCAPLUS COPYRIGHT 2005 ACS on STN

AN 1981:139172 ZCAPLUS

DN 94:139172

ED Entered STN: 12 May 1984

TI General synthesis of exomethylene-.gamma.-lactones via the retro-Diels-Alder reaction

AU Ichihara, Akitami; Nio, Noriki; Sakamura, Sadao

CS Dep. Agric. Chem., Hokkaido Univ., Sapporo, 060, Japan

SO Tetrahedron Letters (1980), 21(46), 4467-8

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

CC 23-17 (Aliphatic Compounds)

Section cross-reference(s): 24, 25

GI

The norbornenyl aldehyde I, prepd. in 2 steps (50.4%) from the norbornenyl ester II, underwent sequential redn., hydrolysis, lactonization, and retro-Diels-Alder reaction (C6H6, 140.degree., 30 min) to give 70% tulipalin A (III; R = H). Alkylation of I by MeMgI, EtMgBr, or PhMgBr followed by the sequential redn., hydrolysis, lactonization, and retro-Diels-Alder reaction gave III (R = Me, Et, Ph) in 60, 54, and 80% yields, resp.

ST methylenealkylbutyrolactone; butyrolactone alkyl methylene; Diels

```
Alder retro norbornenyl lactone; lactonization
     norbornenehydroxycarboxylate; tulipalin A
IT
     Lactones
        (exomethylene, prepn. of, by retro-Diels-Alder reaction of
        norbornenyl lactones)
TΤ
     Lactones
        (norbornenyl, retro Diels-Alder reaction of, exomethylene
        lactones by)
     Lactonization
IT
        (of norbornenehydroxycarboxylates)
IT
     Diels-Alder reaction
        (retro, of norbornenyl lactones, exomethylene lactones by)
ΙT
     106-93-4
        (alkylation by, of norbornenecarboxylate)
IT
     69690-26-2
        (alkylation of, by ethylene dibromide)
IT
     77100-98-2P
        (prepn. and lactonization of)
IT
     77100-99-3P
         (prepn. and redn. of)
                                  77101-03-2P
     77101-01-0P
                   77101-02-1P
                                                 77101-04-3P
IT
        (prepn. and retro-Diels-Alder reaction of, exomethylene lactone
        by)
                                               67964-41-4P
                                62873-16-9P
TΤ
     547-65-9P
                  26613-71-8P
        (prepn. of, by retro-Diels-Alder reaction of norbornene lactone)
IT
     77101-00-9P
        (prepn., alkylation, and redn. of)
ΙT
     77100-97-1P
         (prepn., hydroxylation, and hydrolysis of)
ΙT
     77100-98-2P
        (prepn. and Xactonization of)
     77100-98-2 ZCAPLUS
RN
     Bicyclo[2.2.\chi]hept-5-ene-2-carboxylic acid, 2-(2-hydroxyethyl)-7-(1-
CN
     methylethyl/dene)-, endo- (9CI) (CA INDEX NAME)
Relative stereochemistry.
                      OH
Me<sub>2</sub>C=
               CO<sub>2</sub>H
         S
```

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L10

ΑN

ANSWER 4 OF 5

1971:445119 ZCAPLUS

ZCAPLUS

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75:45119
DN
ED
ΤI
ΑU
CS
SO
     Journal
DT
     English
LA
CC
AΒ
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Entered STN: 12 May 1984 Orbital steering and the catalytic power of enzymes

Port, G. N. J.; Richards, W. G.

Phys. Chem. Lab., Oxford, UK

Nature (London, United Kingdom) (1971), 231(5301), 312-13 CODEN: NATUAS; ISSN: 0028-0836

3 (Enzymes)

Only slight differences in the ratio of overlap integrals between the atoms involved in bond formation between a model series of compds. indicated that the enormous rate of differences obsd. in enzyme catalysis could not be explained by the orbital steering theory of D. E. Koshland, 1962.

orbital steering theory enzyme; overlap integrals enzyme catalysis ST

Molecular orbitals IT

(of hydroxy acids, enzyme reaction mechanisms in relation to)

IT Enzymes

(reaction mechanism of, orbital steering in)

612-20-4 **33913-57-4** TT 591-81-1 33913-58-5

(molecular orbitals of)

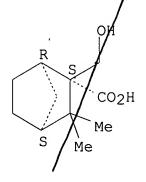
IT 33913-57-4

(molecular orbitals of)

33913-57-4/ ZCAPLUS RN

2-Norbornanecarboxylic acid, 2-(hydroxymethyl)-3,3-dimethyl-, CN stereoisomer (8CI) (CA INDEX NAME)

Relative stefreochemistry.



COPYRIGHT 2005 ACS on STN L10 ANSWER 5 OF 5 ZCAPLUS

AN 1969:513318 ZCAPLUS

DN 71:113318

ED Entered STN: 12 May 1984

ΤI Synthesis and polymerization of .alpha.,.alpha.-spirobicyclic .beta.-lactones

AU Hall, Henry Kingston, Jr.; Dence, Joseph B.; Wilson, Donald R.

```
Pioneering Res. Div., E. I. du Pont de Nemours and Co. Inc.,
CS
     Wilmington, DE, USA
     Macromolecules (1969), 2(5), 475-88
SO
     CODEN: MAMOBX; ISSN: 0024-9297
DT
     Journal
LA
     English
     35 (Synthetic High Polymers)
CC
GI
     For diagram(s), see printed CA Issue.
AΒ
     Et .alpha.-hydroxymethylacrylate was converted to
     .alpha.-chloromethylac-ryloyl chloride, which readily underwent
     Diels-Alder reactions with butadiene, cyclopentadiene, and
                  I-III were hydrolyzed to the corresponding chloro
     anthracene.
     acids, which cyclized under alk. conditions to the
     spiro-.beta.-lactones IV-VI.
                                   Hydrogenation of the bicycloheptene
     chloro acid and cyclization gave the bicycloheptane lactone.
     treated with a carboxylate initiator, these polymd. to high-melting
                  The cyclohexene and bicycloheptane monomers, perhaps
     because of their greater reactivity, gave higher-mol.-wt. polymers
                                                      The methylene
     than the bicycloheptene and anthracene compds.
     protons of many of the intermediates were magnetically nonequiv.
     spirobicyclic lactones prepn polymn; lactones spirobicyclic prepn
ST
     polymn; polyspirolactones prepn
ΙT
     Polyesters, preparation
        (from spirolactones)
     Spiro compounds
IT
        (lactones, polyesters)
ΙT
     Polymerization catalysts
        (phosphonium betaines, for spirolactones)
IT
     Lactones
        (polyesters from spiro-)
IT
     25255-89-4
        (catalysts, for polymn. of spirolactones)
IT
     3944-66-9P
                  19978-14-4P
                                23753-44-8P
                                               23753-45-9P
                                                             23753-46-0P
     23753-47-1P
                   25188-80-1P
                                 25190-35-6P
                                                25190-36-7P
                                                              25190-37-8P
                   25190-39-0P
     25190-38-9P
                                 25250-70-8P
                                                25255-48-5P
                                                              25255-49-6P
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                                 25255-52-1P
                                                25255-54-3P
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                                 25255-58-7P
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                                                              25255-61-2P
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                                                              25255-68-9P
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                                                25255-83-8P
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     25281-57-6P
                   25281-60-1P
                                 25573-48-2P
                                                25711-38-0P
                                                              25711-39-1P
        (prepn. of)
IT
     50-00-0, Formaldehyde
        (reaction products with ethyl (hydroxymethyl)norbornenecarboxylat
        e naphthalenesulfonate)
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IT

25255-68-9

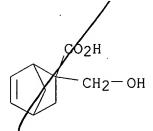
(reaction products with formaldehyde)

IT 25255-70-3P 25255-74-7P

(prepn. of)

RN 25255-70-3 ZCAPLUS

CN 5-Norbornene-2-carboxylic acid, 2-(hydroxymethyl)- (8CI) (CA INDEX NAME)



RN 25255-74-7 ZCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

